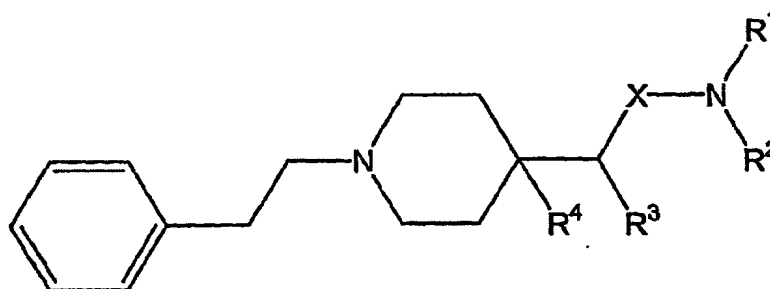


Claims:

1. Substituted 1-phenethylpiperidine compounds of the general formula I

5



I,

in which

10 X denotes a methylene (CH₂) or carbonyl (C=O) group,

R¹ denotes an optionally at least mono-substituted aryl or heteroaryl residue,

15 R² denotes H, COR⁵, SO₂R⁵, an optionally at least mono-substituted, saturated, branched or unbranched aliphatic C₁₋₁₀ residue, an optionally at least mono-substituted, at least mono-unsaturated, branched or unbranched aliphatic C₂₋₁₀ residue, an optionally at

20 least mono-substituted, saturated or at least mono-unsaturated cycloaliphatic C₃₋₈ residue, an optionally at least mono-substituted aryl or heteroaryl residue or an optionally at least mono-substituted aryl or heteroaryl residue attached via a C₁₋₃ alkylene group,

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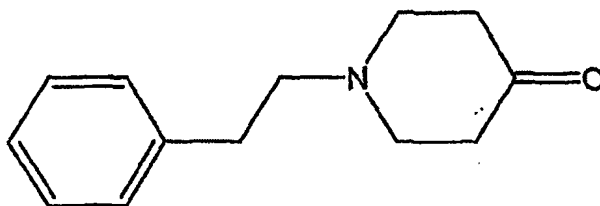
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R³ and R⁴ each separately denote H or together denote a bond,

- 5 R⁵ denotes an optionally at least mono-substituted, saturated, branched or unbranched aliphatic C₁₋₁₀ residue, an optionally at least mono-substituted, at least mono-unsaturated, branched or unbranched aliphatic C₂₋₁₀ residue, an optionally at least mono-substituted, saturated or at least mono-unsaturated
10 cycloaliphatic C₃₋₈ residue, an optionally at least mono-substituted aryl or heteroaryl residue or an optionally at least mono-substituted aryl or heteroaryl residue attached via a C₁₋₃ alkylene group,
15 as a free base or a corresponding physiologically acceptable salt and corresponding racemates, enantiomers and diastereomers.
2. Substituted 1-phenethylpiperidine compounds according
20 to claim 1, characterised in that X denotes a methylene (CH₂) group.
3. Substituted 1-phenethylpiperidine compounds according
25 to claim 1 or 2, characterised in that R¹ denotes an optionally at least mono-substituted aryl residue.
4. Substituted 1-phenethylpiperidine compounds according
30 to one of claims 1 to 3, characterised in that R² denotes H, COR⁵, SO₂R⁵ or denotes a C₁₋₆ alkyl residue, preferably denotes H or COR⁵.

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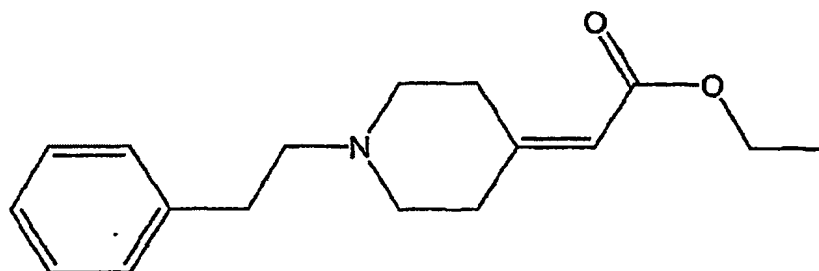
5. Substituted 1-phenethylpiperidine compounds according to one of claims 1 to 4, characterised in that the residues R^3 and R^4 each denote H.
- 5 6. Substituted 1-phenethylpiperidine compounds according to one of claims 1 to 5, characterised in that the residue R^5 denotes a C_{1-6} alkyl residue or denotes an unsubstituted or at least mono-substituted aryl residue.
- 10 8. A process for the production of substituted 1-phenethylpiperidine compounds of the general formula I according to one of claims 1 to 7, characterised in that
- 15 (a) 1-phenethylpiperidin-4-one of the formula II



II

- 20 is reacted with triethyl phosphonoacetate in solution to yield (1-phenethylpiperidin-4-ylidene)-ethyl acetate of the formula III

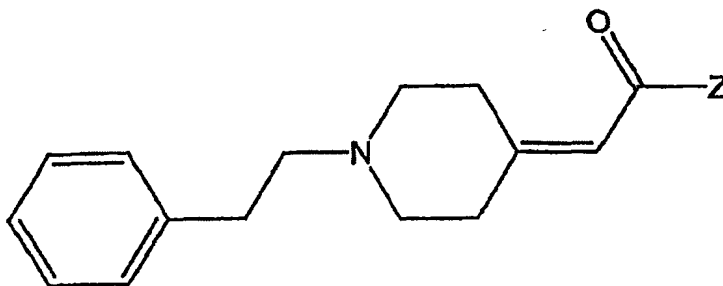
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III

and this is optionally purified in accordance with conventional methods and/or optionally isolated in accordance with conventional methods,

(b) optionally the (1-phenethylpiperidin-4-ylidene)-ethyl acetate of the formula III is converted in accordance with conventional methods into a compound of the general formula IV,

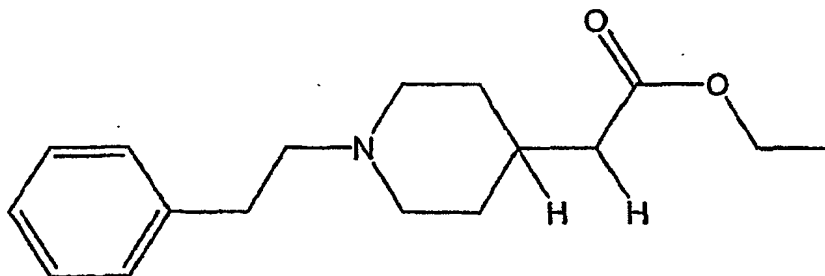


IV

in which Z denotes a group which activates the carbonyl carbon atom for reaction with an amine, the compound of the general formula IV thus obtained is optionally purified in accordance with conventional methods and/or optionally isolated in accordance with conventional methods,

(c) optionally at least one of the compounds of the formula III or IV in solution is reduced to yield a corresponding compound of the general formula III'

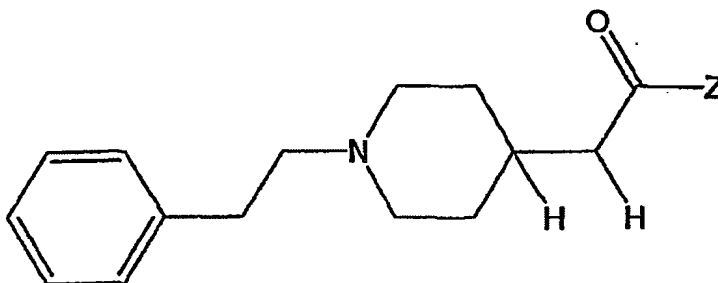
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III'

or to yield a corresponding compound of the general formula IV'

10



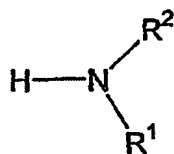
IV'

and the corresponding compound is optionally purified in each case in accordance with conventional methods and/or optionally isolated in each case in accordance with conventional methods,

15

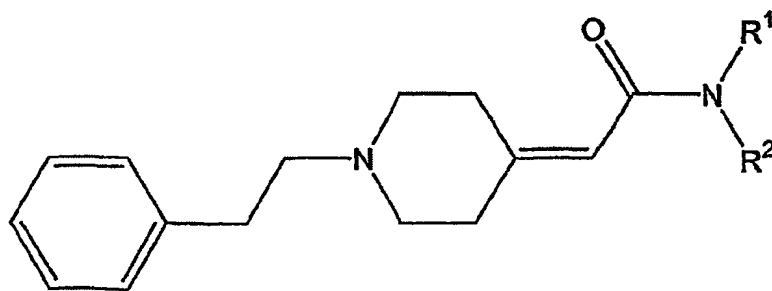
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(d) at least one compound of the formula III, III', IV and IV' in solution is reacted with a primary or secondary amine of the general formula V,



V

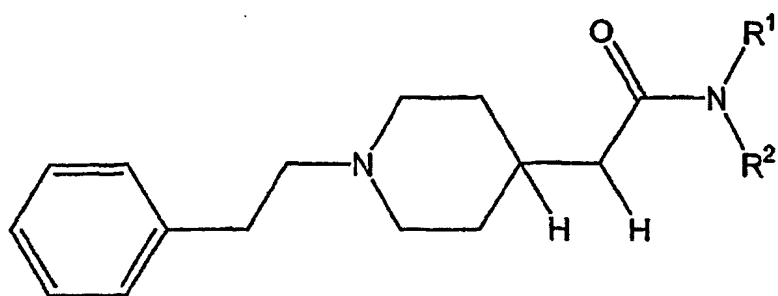
in which R¹ and R² have the meaning according to the above-stated general formula I, to yield at least one compound of the general formula Id



Id

and/or at least one compound of the general formula Id'

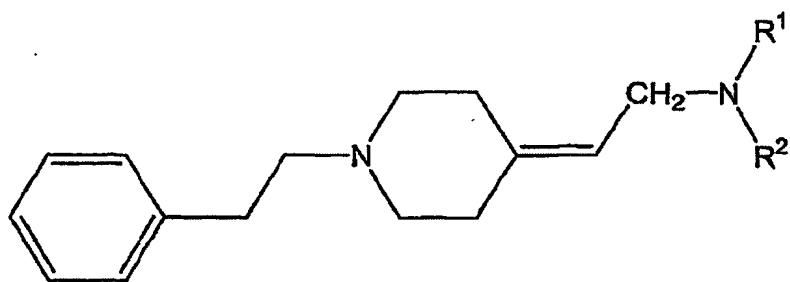
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Id'

and this is optionally purified in each case in
accordance with conventional methods and/or optionally
isolated in each case in accordance with conventional
methods,

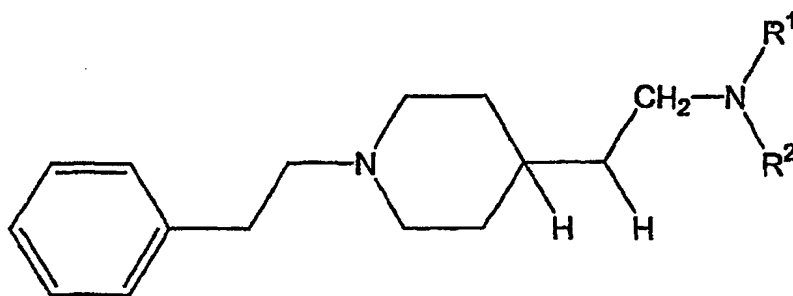
(e) optionally at least one of the compounds of the
general formula Id and/or Id' is converted by
reduction in solution into at least one compound of
the general formula Ie



Ie

and/or at least one compound of the general formula
Ie'

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1e'

in which R¹ and R² each have the meaning according to claim 1, and this is optionally purified in each case in accordance with conventional methods and/or optionally isolated in each case in accordance with conventional methods,

(f) optionally at least one compound of the general formula Ie and/or Ie', in which the residue R² denotes H, is converted in accordance with conventional methods known to the person skilled in the art into at least one compound of the general formula Ie and/or Ie', in which the residue R² denotes COR⁵, SO₂R⁵, an optionally at least mono-substituted, saturated, branched or unbranched aliphatic C₁₋₁₀ residue, an optionally at least mono-substituted, at least mono-unsaturated, branched or unbranched aliphatic C₂₋₁₀ residue, an optionally at least mono-substituted, saturated or at least mono-unsaturated cycloaliphatic C₃₋₈ residue, an optionally at least mono-substituted aryl or heteroaryl residue or denotes an optionally at least mono-substituted aryl or heteroaryl residue attached via a C₁₋₃ alkylene group, wherein the residue R⁵ has the above-stated meaning and this is optionally purified in accordance with conventional methods

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and/or optionally isolated in accordance with conventional methods.

- 5 9. A process according to claim 8, characterised in that
 Z denotes OH, Cl or a succinimide residue.
- 10 10. A process according to claim 8 or 9, characterised in
 that the reduction to yield the compounds of formula
 III' or IV' is performed with hydrogen in the presence
10 of a transition metal catalyst, preferably in the
 presence of palladium powder.
- 15 11. A process according to one of claims 8 to 10,
 characterised in that the reaction with a primary or
 secondary amine of the general formula V is performed
15 in the presence of n-butyllithium.
- 20 12. A process according to one of claims 8 to 11,
 characterised in that reduction to yield a compound of
20 the general formula Ie or Ie' proceeds with aluminium
 hydride (alane) produced in situ from lithium
 aluminium hydride and aluminium trichloride in an
 organic solvent.
- 25 13. A pharmaceutical preparation containing at least one
 substituted 1-phenethylpiperidine compound according
 to one of claims 1 to 7 and optionally physiologically
 acceptable auxiliary substances.
- 30 14. A pharmaceutical preparation according to claim 13 for
 combatting pain.

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15. A pharmaceutical preparation according to claim 13 for the treatment of migraine.
- 5 16. A pharmaceutical preparation according to claim 13 for the treatment of diarrhoea.
17. A pharmaceutical preparation according to claim 13 for the treatment of urinary incontinence.
- 10 18. A pharmaceutical preparation according to claim 13 for the treatment of pruritus.
19. A pharmaceutical preparation according to claim 13 for the treatment of inflammatory reactions.
- 15 20. A pharmaceutical preparation according to claim 13 for the treatment of allergic reactions.
- 20 21. A pharmaceutical preparation according to claim 13 for the treatment of the abuse of alcohol and/or drugs and/or medicines.
22. A pharmaceutical preparation according to claim 13 for the treatment of dependency on alcohol and/or drugs and/or medicines.
- 25 23. A pharmaceutical preparation according to claim 13 for the treatment of inflammation.
- 30 24. A pharmaceutical preparation according to claim 13 for local anaesthesia.

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25. Use of at least one substituted 1-phenethylpiperidine compound according to one of claims 1 to 7 to produce a pharmaceutical preparation for the combatting of pain, for the treatment of migraine, diarrhoea,
5 urinary incontinence, pruritus, inflammatory reactions, allergic reactions, dependency on alcohol and/or drugs and/or medicines, abuse of alcohol and/or drugs and/or medicines, inflammation or for local anaesthesia.